

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
2 June 2005 (02.06.2005)

PCT

(10) International Publication Number  
**WO 2005/049578 A1**

(51) International Patent Classification<sup>7</sup>: **C07D 231/14**,  
409/10, 405/10, 401/10, 231/12, A61K 31/415, A61P 3/00

(74) Agent: **LEAROYD, Stephanie, Anne**; GlaxoSmithKline,  
Corporate Intellectual Property (CN925.1), 980 Great West  
Road, Brentford, Middlesex TW8 9GS (GB).

(21) International Application Number:  
PCT/EP2004/012965

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

(22) International Filing Date:  
15 November 2004 (15.11.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0326747.3 17 November 2003 (17.11.2003) GB  
0329462.6 19 December 2003 (19.12.2003) GB

(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (for all designated States except US):  
**SMITHKLINE BEECHAM CORPORATION**  
[US/US]; One Franklin Plaza, P.O. Box 7929, Philadel-  
phia, Pennsylvania 19101 (US).

(72) Inventors; and

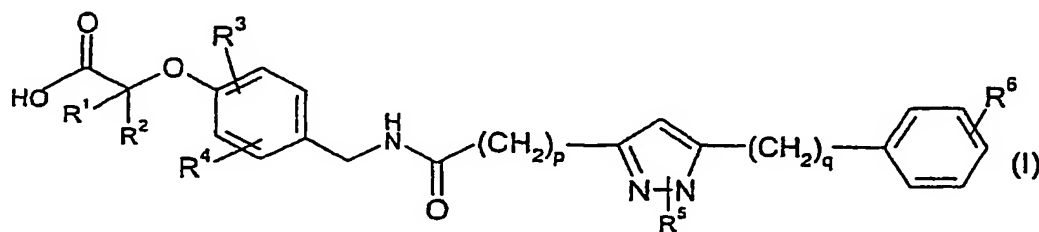
(75) Inventors/Applicants (for US only): **FAUCHER, Nicolas**  
Eric [FR/FR]; GlaxoSmithKline, Centre de Recherches,  
ZA de Courtaboeuf, 25 Avenue du Quebec, F-91940 Les  
Ulis (FR). **MARTRES, Paul** [FR/FR]; GlaxoSmithKline,  
Centre de Recherches, ZA de Courtaboeuf, 25 Avenue du  
Quebec, F-91940 Les Ulis (FR).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: SUBSTITUTED PYRAZOLES AS PPAR AGONISTS



(57) Abstract: A compound of formula (I) and pharmaceutically acceptable salts, solvates and hydrolysable esters thereof (I) wherein: p is 0 or 1; q is 0 or 1; R<sup>1</sup> and R<sup>2</sup> are independently H or C<sub>1-3</sub> alkyl; R<sup>3</sup> and R<sup>4</sup> are independently H, C<sub>1-6</sub> alkyl, -OC<sub>1-6</sub> alkyl, halogen, OH, C<sub>2-6</sub> alkenyl or CF<sub>3</sub>; R<sup>5</sup> is H, C<sub>1-6</sub> alkyl (optionally substituted by one or more halogens, -C(=O)phenyl, OC<sub>1-6</sub> alkyl, phenyl morpholino or C<sub>2-6</sub> alkenyl. R<sup>6</sup> is C<sub>1-6</sub> alkyl, halogen, -OCH<sub>2</sub> phenyl, phenyl (optionally substituted by C<sub>1-3</sub> alkyl), morpholino, pyrrolidino, piperidino, thiophenyl, furanyl pyridinyl or -OC<sub>2-6</sub> alkenyl. These compounds activate the alpha and gamma subtypes for the hppar receptor and are useful e.g. in the treatment of diabetes, dyslipidemia or syndrome X.